PCT/JP2003/011805

Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 03-062-PCT	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/JP2003/011805	International filing date (*day/month/year*) 17 September 2003 (17.09.2003)	Priority date (*day/month/year*) 19 September 2002 (19.09.2002)
International Patent Classification (IPC) or national classification and IPC C07D 405/12		
Applicant SUMITOMO CHEMICAL COMPANY, LIMITED		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

   a. ☐ *(sent to the applicant and to the International Bureau)* a total of _____ sheets, as follows:

      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

- ☒ Box No. I Basis of the report
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

Date of submission of the demand	Date of completion of this report
17 February 2004 (17.02.2004)	30 August 2004 (30.08.2004)
Name and mailing address of the IPEA/JP	Authorized officer

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/JP2003/011805

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:

☐ international search (under Rules 12.3 and 23.1(b))

☐ publication of the international application (under Rule 12.4)

☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☒ The international application as originally filed/furnished

☐ the description:

pages _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the claims:

pages _____, as originally filed/furnished

pages* _____, as amended (together with any statement) under Article 19

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ the drawings:

pages _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

* *If item 4 applies, some or all of those sheets may be marked "superseded."*

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

tional application No.
PCT/JP03/11805

Box No. V **Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Claims	1-20, 23	YES
	Claims	21, 22	NO
Inventive step (IS)	Claims	23	YES
	Claims	1-22	NO
Industrial applicability (IA)	Claims	1-23	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

Document 1: EP 223403 A2 (Beecham Group PLC) May 27, 1987
Document 2: WO 00/32593 A1 (SmithKline Beecham PLC) June 8, 2000

Document 1 cited in the international search report describes a process for producing paroxetine hydrochloride-1/2 hydrate crystals in which a solution of paroxetine hydrochloride is formed and crystals are precipitated from that solution (see Claim 6). In the Examples, document 1 describes a process for producing paroxetine hydrochloride-1/2 hydrate crystals by adding concentrated hydrochloric acid to paroxetine acetate, and a process for recrystallization of paroxetine hydrochloride-1/2 hydrate in methyl alcohol and water (see Examples 2 and 3).

Document 2 describes a white solid of a paroxetine hydrochloride hemi-hydrate (see page 7, Example 8).

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.
Continuation of Box V:

oClaims 1-20

The inventions of claims 1-20 are not described in documents 1 and 2 above, and therefore these inventions are novel.

When the inventions of the above claims of this application and the inventions described in document 1 are compared, they differ because in the inventions of the above claims of this application, (A) the crystals are precipitated with a water content of 70% or higher, and/or (B) the crystals are precipitated in the presence of hydrogen chloride.

The above differences are reviewed below.

With respect to (A), there is no statement concerning an increase in the content of water when the crystals were precipitated in document 1. However, Example 3 shows that methyl alcohol and water can be used as a solvent when the crystals are precipitated. Therefore, this examination finds that persons skilled in the art can adjust the content of the water when the crystals are precipitated as needed.

Moreover, the Specification of the present application states that as a result of the increased water content the crystals precipitate efficiently. However, because test results that prove this are not presented, this examination finds that the inventions of the above claims in this application do not provide any special effect in this respect.

With respect to (B), although document 1 describes paroxetine hydrochloride 1/2-hydrate crystals obtained by processing paroxetine acetate in the presence of concentrated hydrochloric acid (see Example 2), it does not describe a processes in which paroxetine hydrochloride is processed in the presence of hydrogen chloride. However, judging from the fact that the tests in Example 2 contain no elements that prevent the presence of hydrogen chloride when the paroxetine hydrochloride 1/2-hydrate crystals are obtained, this examination finds that persons skilled in the art can obtain paroxetine hydrochloride 1/2-hydrate crystals from paroxetine hydrochloride in a polar organic solvent in the presence of hydrogen chloride as needed. Moreover, this examination finds that the addition of hydrogen chloride in a form other than concentrated hydrochloric acid at that time is a matter to be determined as needed by persons skilled in the art (if necessary, see JP 62-129280 B, page 3, lower right column, lines 14 to 17).

This examination finds no special effect that cannot not easily be predicted from the above cited reference in all cases because the amount of hydrogen chloride added is not specified in the claims of this application.

As a result, the inventions of claims 1-7 lack an inventive step with respect to document 1.

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.
Continuation of Box V:

oClaim 21

It can be surmised from the description in the Specification of this application (page 12, lines 12 to 24) that the paroxetine hydrochloride 1/2-hydrate crystals prepared by the method described in Example 2 of document 1 have the properties specified in the claims of the present application, and therefore the paroxetine hydrochloride 1/2-hydrate crystals described in the claims of this application and the paroxetine hydrochloride 1/2-hydrate crystals described in document 1 are indistinguishable as substances.

Moreover, white paroxetine hydrochloride 1/2-hydrate crystals are described in document 2.

Therefore, the invention of claim 21 lacks both novelty and an inventive step with respect to documents 1 and 2 above.

oClaim 22

It can be surmised from the description in the Specification of this application (page 12, lines 12 to 24) that the paroxetine hydrochloride 1/2-hydrate crystals prepared by the method described in Example 2 of document 1 have the properties specified in the claims of the present application, and therefore the paroxetine hydrochloride 1/2-hydrate crystals described in the claims of this application and the paroxetine hydrochloride 1/2-hydrate crystals described in document 1 are indistinguishable as substances.

Therefore, the invention of claim 22 lacks both novelty and an inventive step with respect to documents 1 and 2 above.

oClaim 23

None of the documents cited in the international search report describes or suggests the invention of claim 23, and therefore this invention is novel and involves an inventive step.